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NEWS 3	NOV 26	MARPAT enhanced with FSORT command
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NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
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NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced

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 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
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DICTIONARY FILE UPDATES:  16 MAR 2009  HIGHEST RN 1122148-13-3

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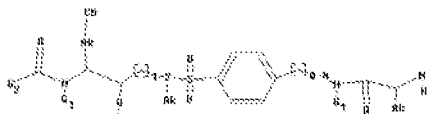

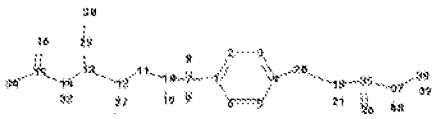

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G1:H,Ak

G2:O,Cb,Cy,Hy,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS
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L1 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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FILE COVERS 1907 - 18 Mar 2009 VOL 150 ISS 12
FILE LAST UPDATED: 17 Mar 2009 (20090317/ED)

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FULL SEARCH INITIATED 10:01:17 FILE 'REGISTRY'
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YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460409 CAPLUS Full-text
DOCUMENT NUMBER: 131:87805

TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors

INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wieczyslaw; Spaltenstein, Andrew

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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OTHER SOURCE(S): MARPAT 131:87805

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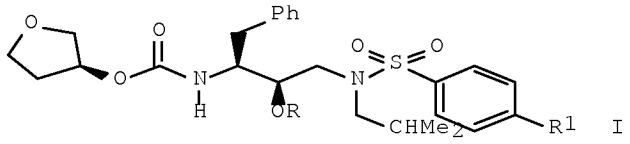


I

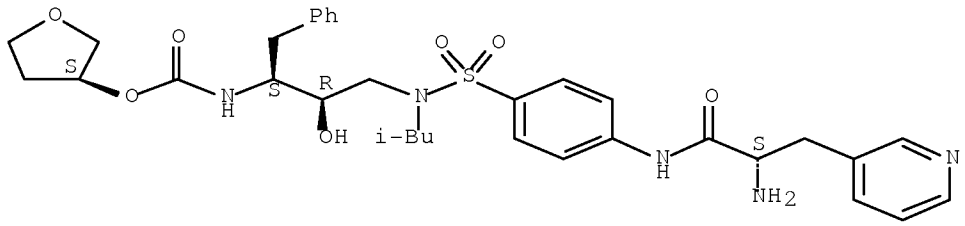
AB ABNGxCHDCH(OR7)CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxymethyl); x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2](II). Data for bioavailability of II were given.

IT 229495-63-0P 229495-64-1P 229495-78-7P
229495-79-8P 229495-80-1P 229495-81-2P
229495-82-3P 229495-83-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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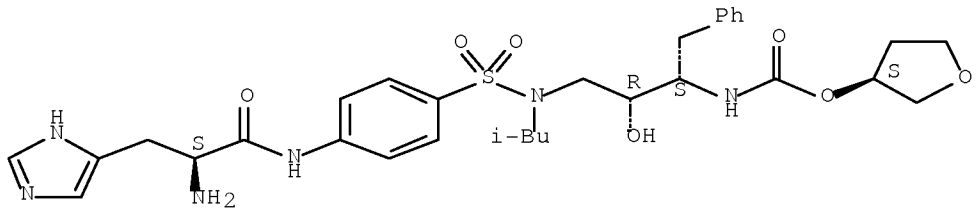
Absolute stereochemistry.



RN 229495-78-7 CAPLUS
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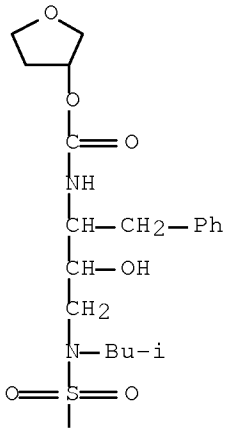
PAGE 1-A



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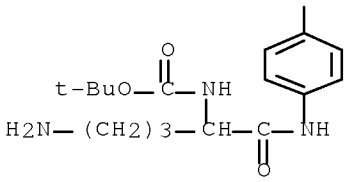

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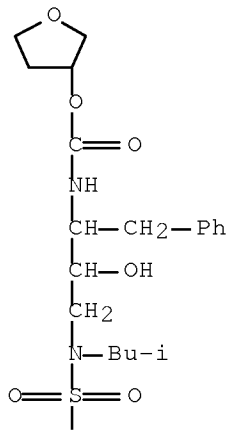

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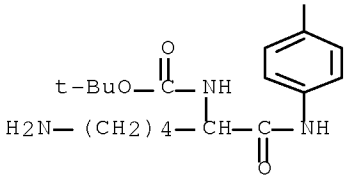

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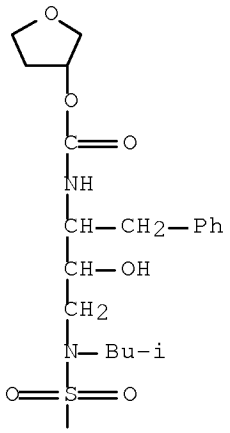

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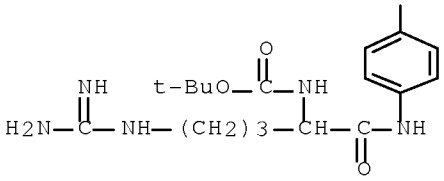

RN 229495-81-2 CAPLUS

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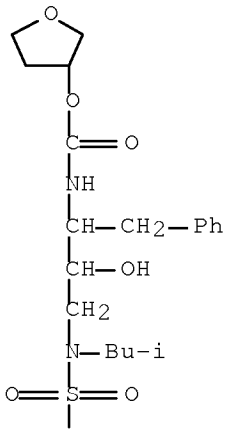

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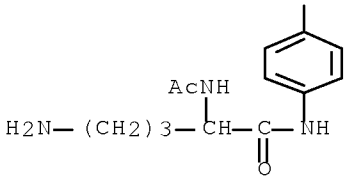

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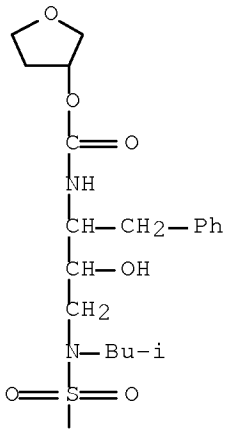

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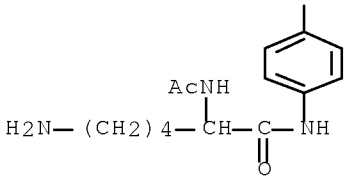

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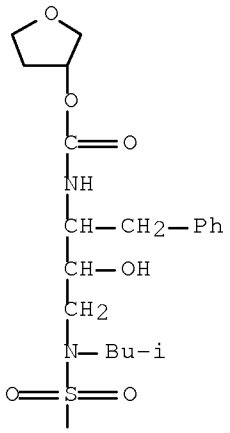

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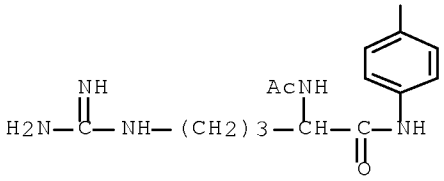

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229496-00-8 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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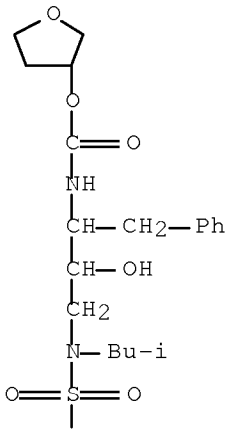

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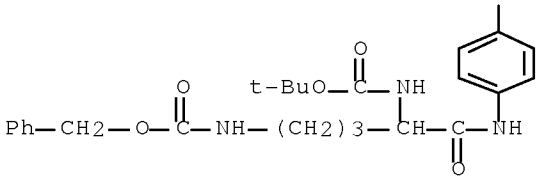

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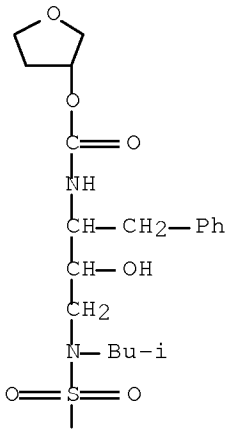

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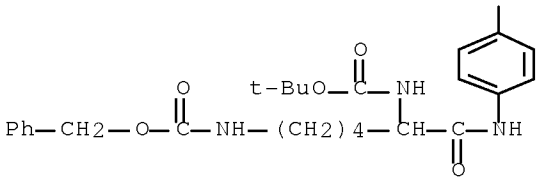

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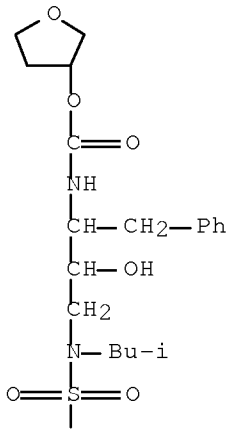
CN 11-Oxa-2,7,9-triazadodecanoic acid, 3-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-8-imino-10-oxo-12-phenyl-7-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



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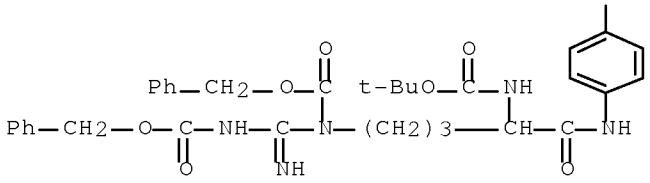
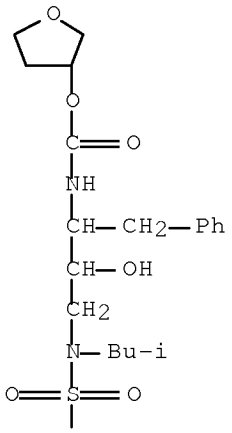


RN 229496-03-1 CAPLUS

CN Carbamic acid, [4-(acetylamino)-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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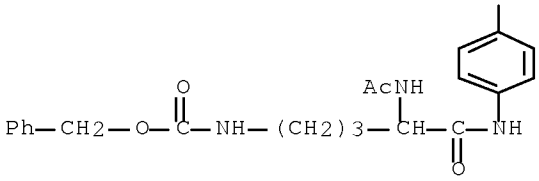
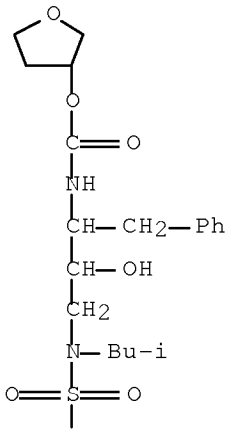


RN 229496-04-2 CAPLUS

CN Carbamic acid, [5-(acetylamino)-6-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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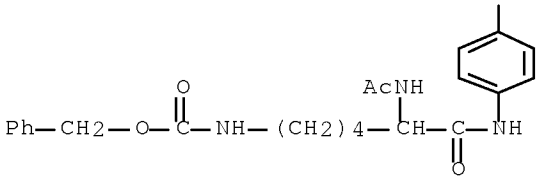
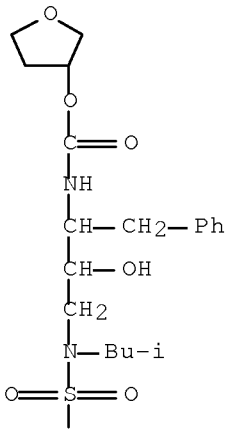


RN 229496-05-3 CAPLUS

CN 2-Oxa-4,6,11-triazatridecanoic acid, 10-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-5-imino-3,12-dioxo-1-phenyl-, phenylmethyl ester (CA INDEX NAME)

PAGE 1-A



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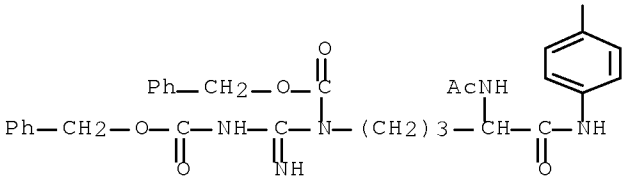

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460393 CAPLUS Full-text
DOCUMENT NUMBER: 131:87804
TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.
INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933793	A2	19990708	WO 1998-US27424	19981223
WO 9933793	A3	19990910		

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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EP 1042280	A2	20001011	EP 1998-965466		19981223
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JP 2001527062	T	20011225	JP 2000-526477		19981223
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HU 2001001598	A3	20020828			
CN 1110492	C	20030604	CN 1998-813313		19981223
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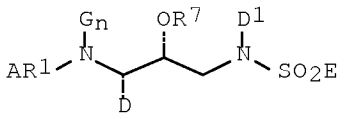
NO 2000003332	A	20000818	NO 2000-3332		20000626
IN 2000KN00131	A	20050311	IN 2000-KN131		20000713
HR 2000000499	A1	20010430	HR 2000-499		20000724
US 20020082249	A1	20020627	US 2001-998617		20011130
US 20030144217	A1	20030731	US 2002-226430		20020821
PRIORITY APPLN. INFO.:			US 1997-68889P	P	19971224
			WO 1998-US27424	W	19981223
			US 2000-602984	A1	20000623
			US 2001-998617	B1	20011130

OTHER SOURCE(S): MARPAT 131:87804
GI



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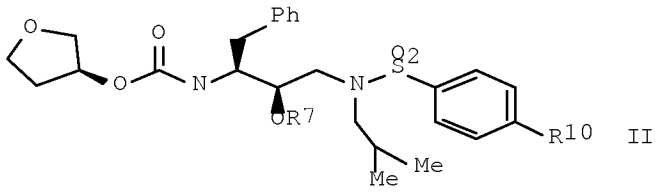


II

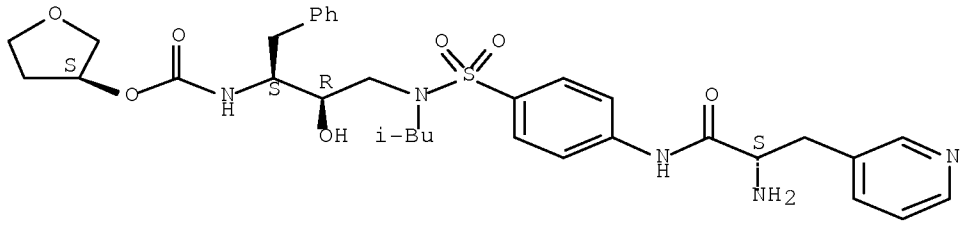
AB Title compds. [I; R1 = CO, SO2, COCO, O2C, OSO2, NR2SO2, etc.; A = (benzo- or heterocyclo-fused) 5-7 membered heterocyclyl(alkyl); D, D1 = Q, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = H, R7, alkyl; E = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl, carbocyclyl, etc.; GR7 = atoms to form a heterocyclic ring; Q = (substituted) (unsatd.) 3-7 membered carbocyclyl, 5-7 membered heterocyclyl; R2 = H, (Q-substituted) alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R7 = (CH2O)nY(ZM)(:X)ZMn, (CH2O)nCO(R9)nM1; M = H, Li, Na, K, Mg, Ca, Ba, ammonio, alkyl, alkenyl, etc.; M1 = H,

(substituted) alkyl, alkenyl, etc.; R9 = C(R2)2, O, NR2; Y = P, S; X = O, S; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, 5-7 membered heterocyclyl; n = 0, 1; with provisos], were prepared Thus, title compound (II; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% II (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% II (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give II (R7 = OP(O)(ONa)2; R10 = NH2) (III). III in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

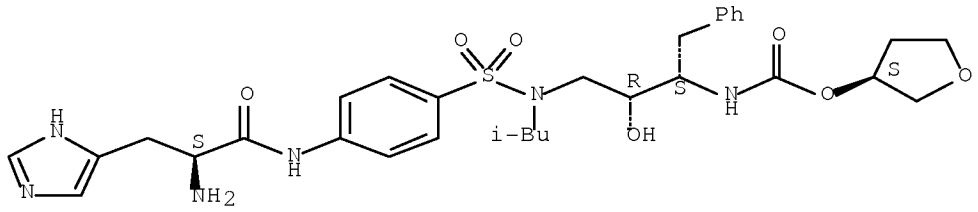
RN 229495-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460392 CAPLUS Full-text
DOCUMENT NUMBER: 131:87803

TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.

INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933792	A2	19990708	WO 1998-US27403	19981223
WO 9933792	A3	19990916		
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9920102	A	19990719	AU 1999-20102	19981223

PRIORITY APPLN. INFO.: US 1997-68806P P 19971224
WO 1998-US27403 W 19981223

OTHER SOURCE(S): MARPAT 131:87803

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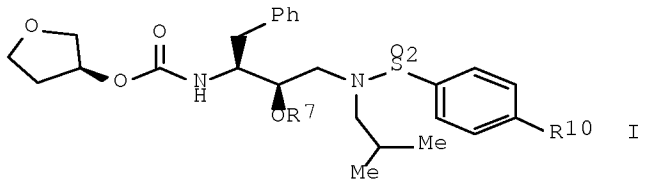

I

AB Z(CHD)pC(:G)(CXX1)mC(G1)N(D1)SO2E1 [Z = N(D)SO2E, NHA, NDA, NHE, NHCONDE, NH(Ht), Ht, ND(Ht); A = H, Ht, R1Ht, (substituted) R1Alk; Alk = alkyl, alkenyl; Ht = (substituted) cycloalkyl, cycloalkenyl, aryl, benzoheterocyclyl, heterocyclyl; D, D1 = R6, N(R2)2, (substituted) alkyl, alkenyl, cycloalkyl, etc.; E, E1 = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl; R1 = CO, SO2, COCO, O2C, OSO2, NR2CO, etc.; R2 = H, R6, R6-substituted alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R6 = (substituted) aryl, carbocyclyl, heterocyclyl; G, G1 = H2, O; X, X1 = H, OH, NH2, SH, etc.; XX1 = O; m = 1-3; p = 0, 1], were prepared Thus, title compound (I; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% I (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% I (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give I (R7 = OP(O)(ONa)2; R10 = NH2) (II). II in a methylcellulose/EtOH/H2O formulation administered

orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

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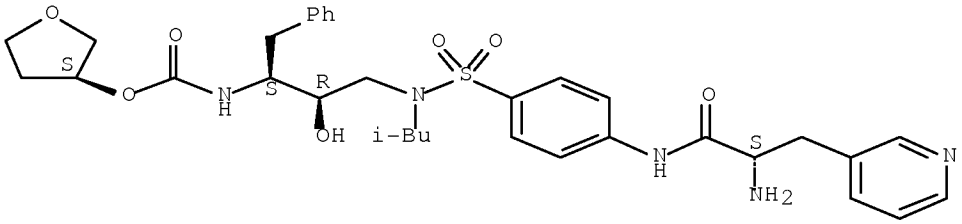
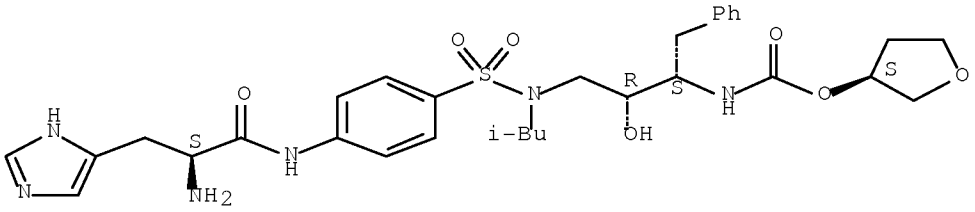
Absolute stereochemistry.



RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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